

or a pharmaceutically acceptable salt or solvate thereof, wherein

either (i) R¹ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, halo, -CN, -OR⁷, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -NR⁵CO-(C₁-C₆ alkylene)-OR⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, said C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR⁵, -OR⁸, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁸R⁹, -NR⁵COR⁵, -NR⁵COR⁶, -NR⁵COR⁸, -SO₂NR⁵R⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶ and

R² is -Y-Z,

or, R¹ and R², when taken together, represent unbranched C₃-C₄ alkylene, optionally wherein one methylene group of said C₃-C₄ alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R⁵ or R⁸,

and R³ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, -CN, halo, -OR⁷, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, said C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR⁵, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -SO₂NR⁵R⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶,

or (ii) R¹ and R³ are each independently C₁-C₆ alkyl, C₃-C₇ cycloalkyl or halo-(C₁-C₆ alkyl), and R² is H,

provided that

- (a) for definition (i), R¹ and R³ are not both H,
- (b) for definition (i), R¹ and R³ are not both optionally substituted phenyl, as defined therein,

and (c) for definition (i), when R^1 and R^3 are both methyl, R^2 is not phenyl or methyl,

(d) for definition (ii), R^1 and R^3 are not both methyl;

Y is a direct bond or C_1 - C_3 alkylene;

Z is R^{10} or, where Y is C_1 - C_3 alkylene, Z is $-NR^5COR^{10}$, $-NR^5CONR^5R^{10}$, $-NR^5CONR^5COR^{10}$ or $-NR^5SO_2R^{10}$;

R^4 is phenyl or pyridyl, each substituted by at least one substituent selected from halo, $-CN$, C_1 - C_6 alkyl, fluoro- (C_1-C_6) -alkyl, C_3 - C_7 cycloalkyl and C_1 - C_6 alkoxy;

each R^5 is independently either H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, fluoro- (C_1-C_6) -alkyl, phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached represent azetidiny, pyrrolidiny, piperidiny, homopiperidiny, piperaziny, homopiperaziny or morpholiny, said azetidiny, pyrrolidiny, piperidiny, homopiperidiny, piperaziny, homopiperaziny and morpholiny being optionally substituted by C_1 - C_6 alkyl or C_3 - C_7 cycloalkyl and said piperaziny and homopiperaziny being optionally substituted on the nitrogen atom not taken together with the two R^5 groups to form the ring by $-COR^7$ or $-SO_2R^7$;

R^6 is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said heterocyclic group being optionally substituted by $-OR^5$, $-NR^5R^5$, $-CN$, oxo, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, $-COR^7$ or halo;

R^7 is C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, fluoro- (C_1-C_6) -alkyl, phenyl or benzyl;

R^8 is C_1 - C_6 alkyl substituted by phenyl, pyridyl or pyrimidinyl, said phenyl, pyridyl and pyrimidinyl being optionally substituted by halo, $-CN$, $-CONR^5R^5$, $-SO_2NR^5R^5$, $-NR^5SO_2R^7$, $-NR^5R^5$, $-(C_1-C_6 \text{ alkylene})-NR^5R^5$, C_1 - C_6 alkyl, fluoro- (C_1-C_6) -alkyl, C_3 - C_7 cycloalkyl or C_1 - C_6 alkoxy;

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R⁹ is H, C₁-C₆ alkyl or C₃-C₇ cycloalkyl, said C₁-C₆ alkyl and C₃-C₇ cycloalkyl being optionally substituted by -OR⁵, -NR⁵R⁵, -NR⁵COR⁵, -CONR⁵R⁵ or R⁶;

R¹⁰ is (a) benzyl or C-linked R⁶, said benzyl being optionally substituted by halo, -OR⁵, -OR¹², -CN, -CO₂R⁷, -CONR⁵R⁵, -OCONR⁵R⁵, -C(=NR⁵)NR⁵OR⁵, -CONR⁵NR⁵R⁵, -OCONR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵R¹², -NR⁵COR⁵, -NR⁵CO₂R⁷, -NR⁵CONR⁵R⁵, -NR⁵COCONR⁵R⁵, -NR⁵SO₂R⁷, -SO₂NR⁵R⁵ or R⁶, or (b) when R¹ and R³ are each independently C₁-C₆ alkyl, C₃-C₇ cycloalkyl or halo-(C₁-C₆ alkyl), R¹⁰ is phenyl, C₁-C₆ alkyl or C₃-C₇ cycloalkyl each being optionally substituted by halo, -OR⁵, -OR¹², -CN, -CO₂R⁷, -CONR⁵R⁵, -OCONR⁵R⁵, -C(=NR⁵)NR⁵OR⁵, -CONR⁵NR⁵R⁵, -OCONR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵R¹², -NR⁵COR⁵, -NR⁵CO₂R⁷, -NR⁵CONR⁵R⁵, -NR⁵COCONR⁵R⁵, -NR⁵SO₂R⁷, -SO₂NR⁵R⁵ or R⁶;

X is -CH₂-, -CHR¹¹-, -CO-, -S-, -SO- or -SO₂-;

R¹¹ is C₁-C₆ alkyl, C₃-C₇ cycloalkyl, fluoro-(C₁-C₆)-alkyl or C₁-C₆ alkoxy; and

R¹² is C₁-C₆ alkyl substituted by R⁶, -OR⁵, -CONR⁵R⁵, -NR⁵COR⁵ or -NR⁵R⁵.--

--77. (New) A compound according to claim 76 wherein R¹ is C₁-C₆ alkyl, -OR⁷, -CO₂R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵CO-(C₁-C₆ alkylene)-OR⁵ or R⁶, said C₁-C₆ alkyl being optionally substituted by halo, -CN, -OR⁵, -OR⁸, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁸R⁹, -NR⁵COR⁵, -NR⁵COR⁶, -NR⁵COR⁸, -SO₂NR⁵R⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶.--

--78. (New) A compound according to claim 77 wherein R¹ is C₁-C₆ alkyl, -OR⁷, -CO₂R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵CO-(C₁-C₆ alkylene)-OR⁵ or R⁶, said C₁-C₆ alkyl being optionally substituted by halo or -OR⁵.--

--79. (New) A compound according to claim 78 wherein R¹ is C₁-C₃ alkyl, -OCH₃, -CO₂(C₁-C₂ alkyl), -NHCO₂(C₁-C₂ alkyl), -NH₂, -N(CH₃)₂, -NHCOCH₂OCH₃ or furanyl, said C₁-C₃ alkyl being optionally substituted by fluoro or -OH.--

--80. (New) A compound according to claim 79 wherein R¹ is methyl, ethyl, prop-2-yl, hydroxymethyl, trifluoromethyl, -OCH₃, -CO₂CH₂CH₃, -NHCO₂CH₂CH₃, -NH₂, -N(CH₃)₂, -NHCOCH₂OCH₃ or furan-2-yl.--

--81. (New) A compound according to claim 80 wherein R¹ is ethyl.--

--82. (New) A compound according to claim 76 wherein R¹ is methyl, ethyl, trifluoromethyl or -CH₂NHCH₂(4-cyanophenyl).--

--83. (New) A compound according to claim 76 wherein R² is H, C₁-C₆ alkyl, -(C₁-C₃ alkylene)-NR⁵CO-(C₁-C₆ alkyl), -(C₁-C₃ alkylene)-NR⁵CONR⁵-(C₁-C₆ alkyl), -(C₁-C₃ alkylene)-NR⁵CONR⁵CO-(phenyl), -(C₁-C₃ alkylene)-NR⁵SO₂(C-linked R⁶), -(C₁-C₃ alkylene)-NR⁵CO(C-linked R⁶), -(C₁-C₃ alkylene)-NR⁵CO-(phenyl), each C₁-C₆ alkyl and phenyl being optionally substituted by halo, -OR⁵, -OR¹², -CN, -CO₂R⁷, -CONR⁵R⁵, -OCONR⁵R⁵, -C(=NR⁵)NR⁵OR⁵, -CONR⁵NR⁵R⁵, -OCONR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵R¹², -NR⁵COR⁵, -NR⁵CO₂R⁷, -NR⁵CONR⁵R⁵, -NR⁵COCONR⁵R⁵, -NR⁵SO₂R⁷, -SO₂NR⁵R⁵ or R⁶.--

--84. (New) A compound according to claim 83 wherein R² is H, C₁-C₆ alkyl, -(C₁-C₃ alkylene)-NR⁵CO-(C₁-C₆ alkyl), -(C₁-C₃ alkylene)-NR⁵CONR⁵-(C₁-C₆ alkyl), -(C₁-C₃ alkylene)-NR⁵CONR⁵CO-(phenyl), -(C₁-C₃ alkylene)-NR⁵SO₂R⁶, -(C₁-C₃ alkylene)-NR⁵COR⁶, -(C₁-C₃ alkylene)-NR⁵CO-(phenyl), each C₁-C₆ alkyl and phenyl being optionally substituted by halo, -OR⁵, -CN, -CO₂R⁷, -CONR⁵R⁵, -OCONR⁵R⁵, -OCONR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵CONR⁵R⁵, -NR⁵COCONR⁵R⁵ or R⁶.--

--85. (New) A compound according to claim 84 wherein R^2 is H, C_1 - C_3 alkyl, $-(C_1$ - C_2 alkylene)-NHCO- $(C_1$ - C_3 alkyl), $-(C_1$ - C_2 alkylene)-NHCONH- $(C_1$ - C_3 alkyl), $-(C_1$ - C_2 alkylene)-NHCONHCO-(phenyl), $-(C_1$ - C_2 alkylene)-NHSO₂R⁶, $-(C_1$ - C_2 alkylene)-NHCOR⁶, $-(C_1$ - C_2 alkylene)-NHCO-(phenyl), each C_1 - C_3 alkyl and phenyl being optionally substituted by fluoro, -OH, -O(C_1 - C_6 alkyl), -CN, -CO₂(C_1 - C_6 alkyl), -CONH₂, -OCONH₂, -OCONHCO₂Ph, -NH₂, -N(C_1 - C_6 alkyl)₂, -NHCONH₂, -NHCOCOCONH₂ or R⁶.--

--86. (New) A compound according to claim 83 wherein R⁶ is 2,4-dihydroxypyrimidinyl, 1-methylimidazolyl, tetrahydrofuranyl, 1,5-dimethylpyrazolyl, tetrazolyl, pyridinyl, pyrimidinyl, 3-hydroxypyridazinyl, 2-hydroxypyridinyl, 2-oxo-2H-pyranyl or 1,2,3-thiadiazolyl.--

--87. (New) A compound according to claim 85 wherein R^2 is H, -CH₂OH, -CH₂CH₂OH, -CH₂CH₂CH₂OH, -CH₂OCONH₂, -CH₂CH₂OCONH₂, -CH₂OCONHCO₂Ph, -CH₂CO₂CH₂CH₃, -CH₂CH₂CO₂CH₃, -CH₂CH₂CO₂CH₂CH₃, -CH₂CH₂CONH₂, -CH₂CH₂NH₂, -CH₂CH₂CH₂NH₂, -CH₂CH₂NHCOCHF₂, -CH₂CH₂NHCOCH₂CN, -CH₂CH₂NHCOCH₂N(CH₃)₂, -CH₂CH₂NHCOCH₂OCH₃, -CH₂CH₂NHCOCH₂OH, -CH₂CH₂NHCOCH₂OCH₂CH₃, -CH₂CH₂NHCOCH₂NHCONH₂, -CH₂CH₂NHCOCONH₂, -CH₂CH₂NHCONHCH₂CH₂CH₃, -CH₂CH₂NHCONHCOPh, -CH₂CH₂NHCONHCO(2,6-difluorophenyl), -CH₂CH₂NHSO₂(2,4-dihydroxypyrimidin-5-yl), -CH₂CH₂NHSO₂(1-methylimidazol-4-yl), -CH₂CH₂NHCO(tetrahydrofuran-2-yl), -CH₂CH₂NHCO(1,5-dimethylpyrazol-3-yl), -CH₂CH₂NHCOCH₂(tetrazol-1-yl), -CH₂CH₂NHCOPh, -CH₂CH₂NHCO(pyridin-2-yl), -CH₂CH₂NHCO(pyrimidin-2-yl), -CH₂CH₂NHCO(2-fluorophenyl), -CH₂CH₂NHCO(3-hydroxyphenyl), -CH₂CH₂NHCO(3-hydroxypyridazin-6-yl), -CH₂CH₂NHCO(2-hydroxypyridin-6-yl), -CH₂CH₂NHCO(2-oxo-2H-pyran-5-yl) or -CH₂CH₂NHCO(1,2,3-thiadiazol-4-yl).--

--88. (New) A compound according to claim 76 wherein R^2 is H, methyl, $-\text{CH}_2\text{CH}_2\text{OH}$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{OH}$, $-\text{CH}_2\text{CH}_2\text{NH}_2$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{NH}_2$, $-\text{CH}_2\text{CN}$, $-\text{CH}_2\text{CH}_2\text{OCH}_3$, $-\text{CH}_2\text{CONH}_2$, $-\text{CH}_2\text{CH}_2\text{NHCOCH}_2\text{OCH}_3$ or azetidin-3-yl.--

--89. (New) A compound according to claim 88 wherein R^2 is $-\text{CH}_2\text{CH}_2\text{OH}$, $-\text{CH}_2\text{CH}_2\text{NH}_2$, $-\text{CH}_2\text{CN}$ or azetidin-3-yl.--

--90. (New) A compound according to claim 76 wherein R^3 is $\text{C}_1\text{-C}_6$ alkyl, $-\text{CO}_2\text{R}^5$, $-\text{CONR}^5\text{R}^5$, $-\text{NR}^5\text{CO}_2\text{R}^7$ or $-\text{NR}^5\text{R}^5$, said $\text{C}_1\text{-C}_6$ alkyl being optionally substituted by halo, $-\text{CN}$, $-\text{OR}^5$, $-\text{CO}_2\text{R}^5$, $-\text{CONR}^5\text{R}^5$, $-\text{OCONR}^5\text{R}^5$, $-\text{NR}^5\text{CO}_2\text{R}^7$, $-\text{NR}^5\text{R}^5$, $-\text{NR}^5\text{COR}^5$, $-\text{SO}_2\text{NR}^5\text{R}^5$, $-\text{NR}^5\text{CONR}^5\text{R}^5$, $-\text{NR}^5\text{SO}_2\text{R}^7$ or R^6 .--

--91. (New) A compound according to claim 90 wherein R^3 is $\text{C}_1\text{-C}_6$ alkyl, $-\text{CO}_2\text{R}^5$, $-\text{CONR}^5\text{R}^5$, $-\text{NR}^5\text{CO}_2\text{R}^7$ or $-\text{NR}^5\text{R}^5$, said $\text{C}_1\text{-C}_6$ alkyl being optionally substituted by halo, CN or $-\text{OR}^5$.--

--92. (New) A compound according to claim 91 wherein R^3 is $\text{C}_1\text{-C}_3$ alkyl, $-\text{CO}_2(\text{C}_1\text{-C}_2 \text{ alkyl})$, $-\text{CONH}_2$, $-\text{NHCO}_2(\text{C}_1\text{-C}_4 \text{ alkyl})$, $-\text{N}(\text{CH}_3)_2$ or $-\text{NH}_2$, said $\text{C}_1\text{-C}_3$ alkyl being optionally substituted by halo, $-\text{CN}$ or $-\text{OH}$.--

--93. (New) A compound according to claim 92 wherein R^3 is methyl, ethyl, prop-2-yl, hydroxymethyl, cyanomethyl, trifluoromethyl, $-\text{CO}_2\text{CH}_2\text{CH}_3$, $-\text{CONH}_2$, $-\text{NHCO}_2\text{C}(\text{CH}_3)_3$, $-\text{N}(\text{CH}_3)_2$ or $-\text{NH}_2$.--

--94. (New) A compound according to claim 93 wherein R^3 is methyl, ethyl, prop-2-yl or trifluoromethyl.--

--95. (New) A compound according to claim 94 wherein R³ is ethyl.--

--96. (New) A compound according to claim 76 wherein R⁴ is phenyl substituted by at least one substituent selected from halo, -CN, C₁-C₆ alkyl, fluoro-(C₁-C₆)-alkyl, C₃-C₇ cycloalkyl and C₁-C₆ alkoxy.--

--97. (New) A compound according to claim 96 wherein R⁴ is phenyl substituted by at least one substituent selected from halo, -CN and C₁-C₃ alkyl.--

--98. (New) A compound according to claim 97 wherein R⁴ is phenyl substituted by at least one substituent selected from fluoro, chloro, bromo, -CN and methyl.--

--99. (New) A compound according to claim 98 wherein R⁴ is 3-chlorophenyl, 4-chlorophenyl, 3-fluorophenyl, 3,5-dichlorophenyl, 2,6-difluorophenyl, 3,5-difluorophenyl, 3,5-dibromophenyl, 3,5-dicyanophenyl or 3,5-dimethylphenyl.--

--100. (New) A compound according to claim 97 wherein R⁴ is (i) phenyl substituted at the 3 position by fluoro, chloro, methyl or cyano or (ii) phenyl substituted at the 3 and 5 positions by two substituents independently chosen from fluoro, chloro, methyl and cyano.--

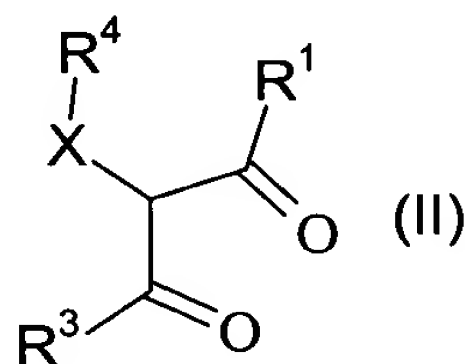
--101. (New) A compound according to claim 76 wherein X is -CH₂-, -CHR¹¹-, -CO-, -S- or -SO₂--

--102. (New) A compound according to claim 101 wherein X is -CH₂-, -CH(OCH₃)-, -CO-, -S- or -SO₂--

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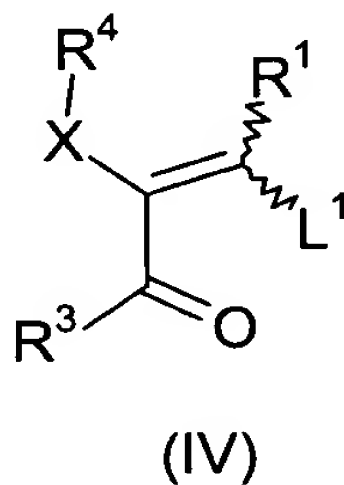
--104. (New) A pharmaceutical composition comprising a compound of claim 76 or a pharmaceutically acceptable salt or solvate thereof, and a pharmaceutically acceptable excipient, diluent or carrier.--

(a) a compound of the formula



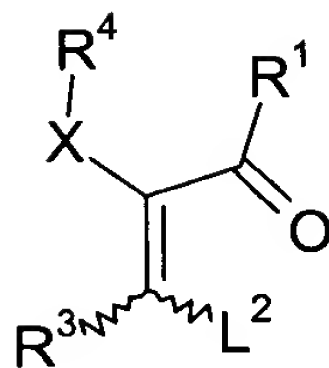
wherein R¹, R³ and R⁴ are as defined in claim 76;

(b) a compound of the formula



wherein R¹, R³, R⁴ and X are as defined in claim 76 and L¹ is a leaving group;

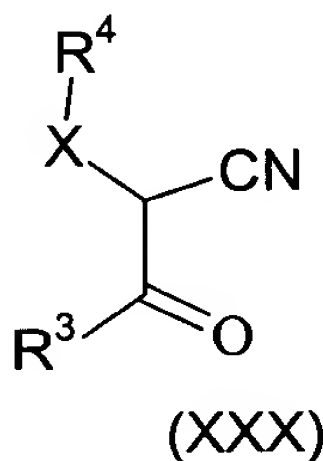
(c) a compound of the formula



(V)

wherein R^1 , R^3 , R^4 and X are as defined in claim 76 and L^2 is a leaving group;

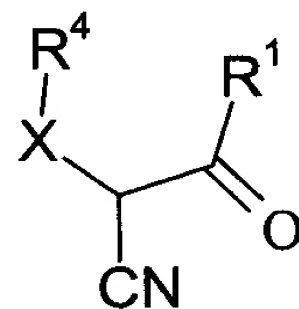
(d) a compound of the formula



(XXX)

wherein R^3 , R^4 and X are as defined in claim 76; or

(e) a compound of the formula



(XXXII)

wherein R^1 , R^4 and X are as defined in claim 76;

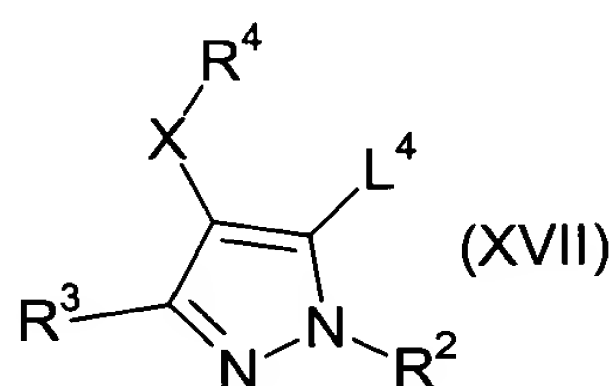
with a compound of the formula



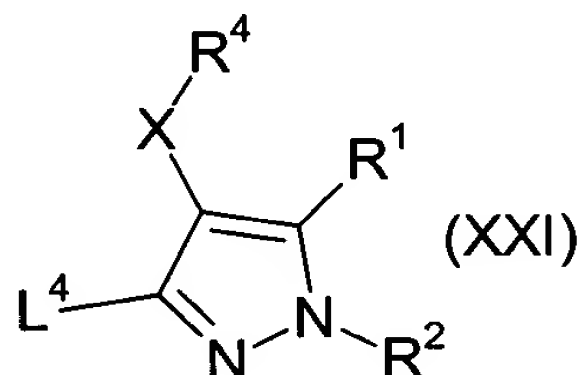
wherein R^2 is as defined in claim 76, or a salt or solvate thereof, optionally followed by the conversion of the compound of claim 76 to a pharmaceutically acceptable salt thereof.-

--106. (New) The process of claim 105, wherein the leaving group for the compound of formula IV and V is dimethylamino.--

--107. (New) A process for the preparation of a compound of claim 76, wherein R^1 or R^3 is $-OR^7$, or a pharmaceutically acceptable salt or solvate thereof, includes the reaction of a compound of the formula



wherein R^1 , R^3 , R^4 and X are as defined in claim 76 and L^4 is a leaving group; or a compound of the formula



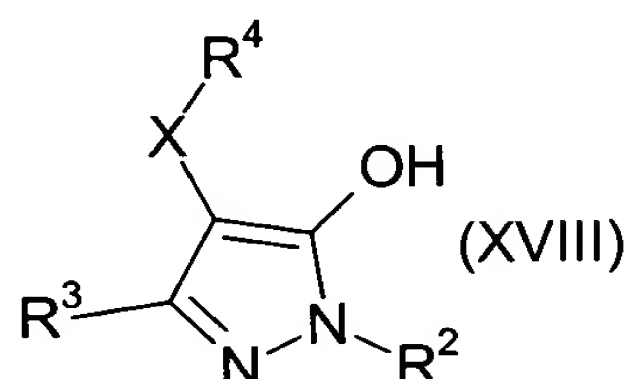
wherein R^1 , R^3 , R^4 and X are as defined in claim 76 and L^4 is a leaving group;
with a compound of the formula

R^7OH (XXV)

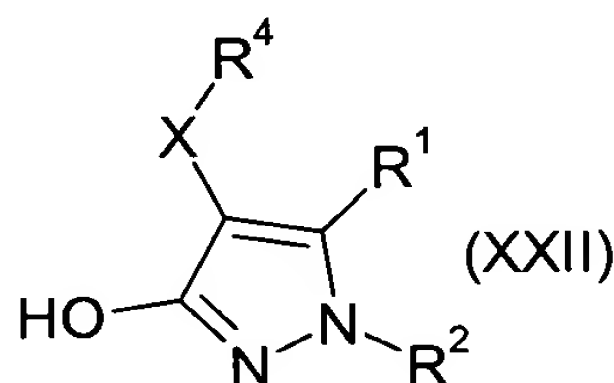
wherein R^7 is as defined in claim 76, in the presence of a catalyst optionally followed by the conversion of the compound of claim 76 to a pharmaceutically acceptable salt thereof.--

--108. (New) The process of claim 107, wherein said catalyst is a palladium catalyst and said leaving group is trifluoromethanesulphonate.--

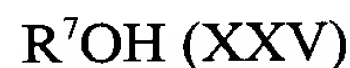
--109. (New) A process for the preparation of a compound claim 76, wherein R^1 or R^3 is $-OR^7$, or a pharmaceutically acceptable salt or solvate thereof, which includes the reaction of a compound of the formula



wherein R^2 , R^3 , R^4 and X are as defined in claim 76, or a compound of the formula



wherein R^1 , R^2 , R^4 and X are as defined in claim 76, with a compound of the formula

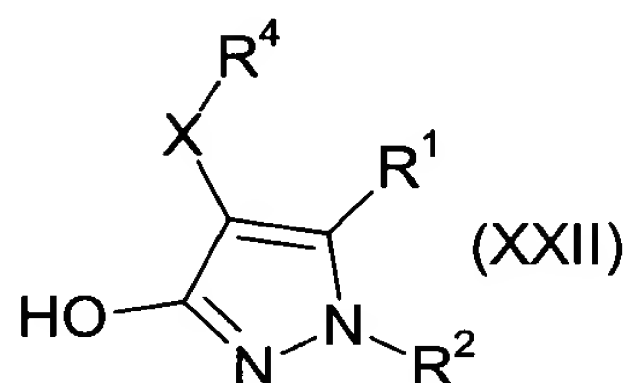


wherein R^7 is as defined in claim 76, under dehydrating conditions, optionally followed by the conversion of the said compound to a pharmaceutically acceptable salt thereof.--

--110. (New) The process of claim 109, wherein the reaction is performed in the presence of a dialkylazodicarboxylate and a triarylphosphine.--

--111. (New) The process of claim 110, wherein said dialkylazodicarboxylate is diethylazodicarboxylate and said triarylphosphine is triphenylphosphine,--

--112. (New) A process for the preparation of a compound of the claim 76, wherein R^1 or R^3 is $-OR^7$, or a pharmaceutically acceptable salt or solvate thereof, which includes the reaction of a compound of the formula



wherein R^2 , R^3 , R^4 and X are as defined in claim 76, or a compound of the formula

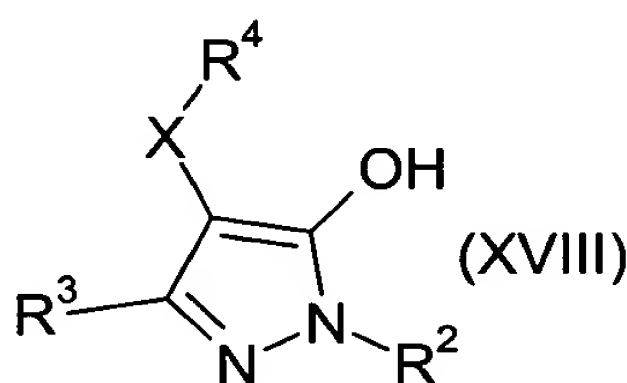
wherein R^1 , R^2 , R^4 and X are as defined in claim 76, with a compound of the formula



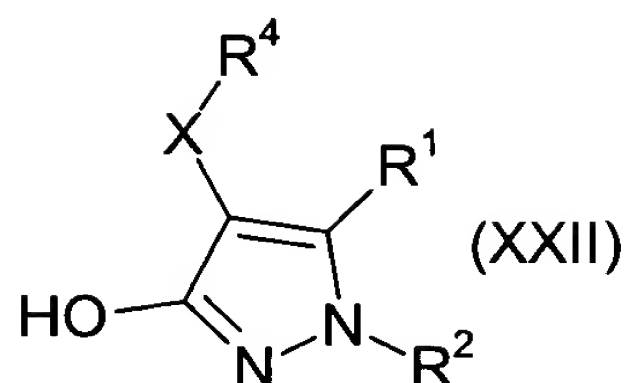
wherein R^7 is as defined in claim 76 and L^7 is a leaving group optionally followed by the conversion of said compound to a pharmaceutically acceptable salt thereof.--

--113. (New) The process of claim 112, wherein the leaving group is a halo group.--

--114. (New) A process for the preparation of a compound of claim 76, wherein R^1 or R^3 is $-OCONR^5R^5$, or a pharmaceutically acceptable salt or solvate thereof, which includes the reaction of a compound of the formula



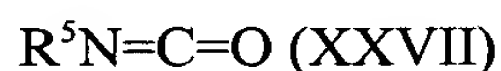
wherein R^2 , R^3 , R^4 and X are as defined in claim 76, or a compound of the formula



wherein R¹, R², R⁴ and X are as defined in claim 76, with a compound of the formula



in which R⁵ is as defined in claim 76 and L⁵ is a leaving group or with a compound of the formula

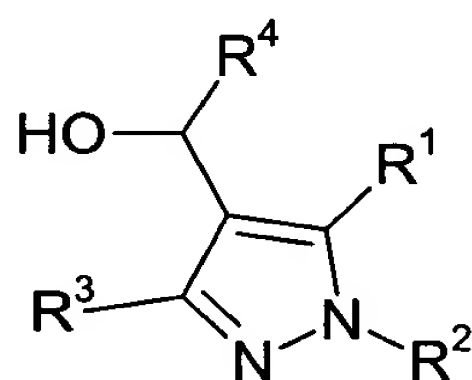


in which R⁵ is as defined in claim 76, optionally followed by the conversion of said compound to a pharmaceutically acceptable salt thereof.--

--115. (New) The process of claim 114, wherein said leaving group is chloro.--

--116. (New) A process for the preparation of a compound of claim 76, wherein X is -CO- or -CHR¹⁰- and R¹⁰ is C₁-C₆ alkoxy, or a pharmaceutically acceptable salt or solvate thereof, which includes

(a) the oxidation of a compound of the formula



wherein R¹, R², R³ and R⁴ are as defined in claim 76, or

(b) the reaction of a compound of the formula (XXXIV), as defined above, with a compound of the formula

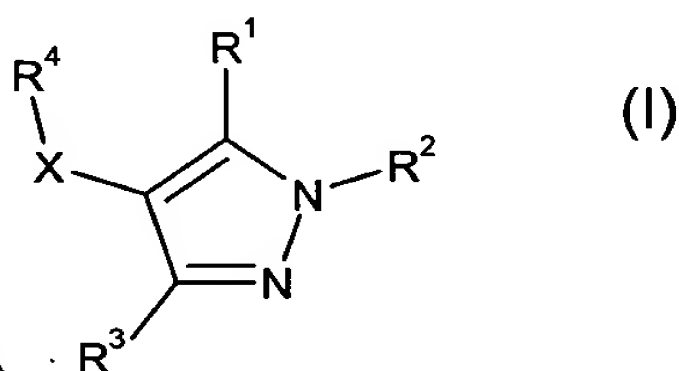
R^bL^8 (XXXVIII)

wherein R^b is C_1 - C_6 alkyl and L^8 is a leaving group, optionally followed by the conversion said compound to a pharmaceutically acceptable salt thereof.--

--117. (New) The process of claim 116, wherein said leaving group is chloro, bromo or iodo.--

--118. (New) A process for the preparation of a compound of the claim 76, containing an -OH, -NH- or -NH₂ group or a pharmaceutically acceptable salt or solvate thereof, which includes the deprotection of a corresponding compound bearing an -OP¹, -NP¹- or -NHP¹ group, respectively, wherein the group P¹ is a protecting group, optionally followed by the conversion of said compound to a pharmaceutically acceptable salt thereof.--

--119 (New) A method for the treatment of a human immunodeficiency viral (HIV), a genetically related retroviral infection or a resulting acquired immunodeficiency syndrome (AIDS) comprising the administration of an effective amount of a compound of the formula (I)



or a pharmaceutically acceptable salt or solvate thereof, wherein

either (i) R^1 is H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl, benzyl, halo, -CN, -OR⁷, -OR⁸, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -NR⁵CO-(C_1 - C_6 alkylene)-OR⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, said C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR⁵, -OR⁸, -CO₂R⁵, -CONR⁵R⁵,

Q2
-OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁸R⁹, -NR⁵COR⁵, -NR⁵COR⁶, -NR⁵COR⁸, -SO₂NR⁵R⁵,
-NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, and

R² is H or -Y-Z,

or, (ii) R¹ and R², when taken together, represent unbranched C₃-C₄ alkylene, optionally wherein one methylene group of said C₃-C₄ alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R⁵ or R⁸;

Y is a direct bond or C₁-C₃ alkylene;

Z is R¹⁰ or, where Y is C₁-C₃ alkylene, Z is -NR⁵COR¹⁰, -NR⁵CONR⁵R¹⁰, -NR⁵CONR⁵COR¹⁰ or -NR⁵SO₂R¹⁰;

R³ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, -CN, halo, -OR⁷, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, said C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR⁵, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -SO₂NR⁵R⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶;

R⁴ is phenyl or pyridyl, each being optionally substituted by R⁶, halo, -CN, C₁-C₆ alkyl, fluoro-(C₁-C₆)-alkyl, C₃-C₇ cycloalkyl or C₁-C₆ alkoxy;

each R⁵ is independently either H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, fluoro-(C₁-C₆)-alkyl, phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached represent azetidiny, pyrrolidiny, piperidiny, homopiperidiny, piperaziny, homopiperaziny or morpholiny, said azetidiny, pyrrolidiny, piperidiny, homopiperidiny, piperaziny, homopiperaziny and morpholiny being optionally substituted by C₁-C₆ alkyl or C₃-C₇ cycloalkyl and said piperaziny and homopiperaziny being optionally substituted on the nitrogen atom not taken together with the two R⁵ groups to form the ring by -COR⁷ or -SO₂R⁷;

R⁶ is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said

heterocyclic group being optionally substituted by $-OR^5$, $-NR^5R^5$, $-CN$, oxo, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, $-COR^7$ or halo;

R^7 is C_1-C_6 alkyl, C_3-C_7 cycloalkyl, fluoro- (C_1-C_6) -alkyl, phenyl or benzyl;

R^8 is C_1-C_6 alkyl substituted by phenyl, phenoxy, pyridyl or pyrimidinyl, said phenyl, phenoxy, pyridyl and pyrimidinyl being optionally substituted by halo, $-CN$, $-CONR^5R^5$, $-SO_2NR^5R^5$, $-NR^5SO_2R^7$, $-NR^5R^5$, $-(C_1-C_6 \text{ alkylene})-NR^5R^5$, C_1-C_6 alkyl, fluoro- (C_1-C_6) -alkyl, C_3-C_7 cycloalkyl or C_1-C_6 alkoxy;

R^9 is H, C_1-C_6 alkyl or C_3-C_7 cycloalkyl, said C_1-C_6 alkyl and C_3-C_7 cycloalkyl being optionally substituted by $-OR^5$, $-NR^5R^5$, $-NR^5COR^5$, $-CONR^5R^5$ or R^6 ;

R^{10} is C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_3-C_7 cycloalkyl, phenyl, benzyl or C-linked R^6 , said C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, $-OR^5$, $-OR^{12}$, $-CN$, $-CO_2R^7$, $-CONR^5R^5$, $-OCONR^5R^5$, $-C(=NR^5)NR^5OR^5$, $-CONR^5NR^5R^5$, $-OCONR^5CO_2R^7$, $-NR^5R^5$, $-NR^5R^{12}$, $-NR^5COR^5$, $-NR^5CO_2R^7$, $-NR^5CONR^5R^5$, $-NR^5COCONR^5R^5$, $-NR^5SO_2R^7$, $-SO_2NR^5R^5$ or R^6 ;

X is $-CH_2-$, $-CHR^{11}-$, $-CO-$, $-S-$, $-SO-$ or $-SO_2-$;

R^{11} is C_1-C_6 alkyl, C_3-C_7 cycloalkyl, fluoro- (C_1-C_6) -alkyl or C_1-C_6 alkoxy; and

R^{12} is C_1-C_6 alkyl substituted by R^6 , $-OR^5$, $-CONR^5R^5$, $-NR^5COR^5$ or $-NR^5R^5$.

--120. (New) The method of claim 119, wherein R^1 is C_1-C_6 alkyl, $-OR^7$, $-CO_2R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5CO-(C_1-C_6 \text{ alkylene})-OR^5$ or R^6 , said C_1-C_6 alkyl being optionally substituted by halo, $-CN$, $-OR^5$, $-OR^8$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^8R^9$, $-NR^5COR^5$, $-NR^5COR^6$, $-NR^5COR^8$, $-SO_2NR^5R^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6 .--

--121. (New) The method of claim 120, wherein R^1 is C_1-C_6 alkyl, $-OR^7$, $-CO_2R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5CO-(C_1-C_6 \text{ alkylene})-OR^5$ or R^6 , said C_1-C_6 alkyl being optionally substituted by halo or $-OR^5$.--

--122. (New) The method of claim 121, wherein R^1 is C_1 - C_3 alkyl, $-OCH_3$, $-CO_2(C_1$ - C_2 alkyl), $-NHCO_2(C_1$ - C_2 alkyl), $-NH_2$, $-N(CH_3)_2$, $-NHCOCH_2OCH_3$ or furanyl, said C_1 - C_3 alkyl being optionally substituted by fluoro or $-OH$.--

--123. (New) The method of claim 122, wherein R^1 is methyl, ethyl, prop-2-yl, hydroxymethyl, trifluoromethyl, $-OCH_3$, $-CO_2CH_2CH_3$, $-NHCO_2CH_2CH_3$, $-NH_2$, $-N(CH_3)_2$, $-NHCOCH_2OCH_3$ or furan-2-yl.--

--124. (New) The method of claim 123, wherein R^1 is ethyl.--

--125. (New) The method of claim 119 wherein R^1 is methyl, ethyl, trifluoromethyl or $-CH_2NHCH_2(4$ -cyanophenyl).--

--126. (New) The method of claim 119 wherein R^2 is H, C_1 - C_6 alkyl, $-(C_1$ - C_3 alkylene)- NR^5CO -(C_1 - C_6 alkyl), $-(C_1$ - C_3 alkylene)- NR^5CONR^5 -(C_1 - C_6 alkyl), $-(C_1$ - C_3 alkylene)- NR^5CONR^5CO -(phenyl), $-(C_1$ - C_3 alkylene)- NR^5SO_2 (C-linked R^6), $-(C_1$ - C_3 alkylene)- NR^5CO (C-linked R^6), $-(C_1$ - C_3 alkylene)- NR^5CO -(phenyl), each C_1 - C_6 alkyl and phenyl being optionally substituted by halo, $-OR^5$, $-OR^{12}$, $-CN$, $-CO_2R^7$, $-CONR^5R^5$, $-OCONR^5R^5$, $-C(=NR^5)NR^5OR^5$, $-CONR^5NR^5R^5$, $-OCONR^5CO_2R^7$, $-NR^5R^5$, $-NR^5R^{12}$, $-NR^5COR^5$, $-NR^5CO_2R^7$, $-NR^5CONR^5R^5$, $-NR^5COCONR^5R^5$, $-NR^5SO_2R^7$, $-SO_2NR^5R^5$ or R^6 .—

--127. (New) The method of claim 126, wherein R^2 is H, C_1 - C_6 alkyl, $-(C_1$ - C_3 alkylene)- NR^5CO -(C_1 - C_6 alkyl), $-(C_1$ - C_3 alkylene)- NR^5CONR^5 -(C_1 - C_6 alkyl), $-(C_1$ - C_3 alkylene)- NR^5CONR^5CO -(phenyl), $-(C_1$ - C_3 alkylene)- $NR^5SO_2R^6$, $-(C_1$ - C_3 alkylene)- NR^5COR^6 , $-(C_1$ - C_3 alkylene)- NR^5CO -(phenyl), each C_1 - C_6 alkyl and phenyl being optionally substituted by halo, $-OR^5$, $-CN$, $-CO_2R^7$, $-CONR^5R^5$, $-OCONR^5R^5$, $-OCONR^5CO_2R^7$, $-NR^5R^5$, $-NR^5CONR^5R^5$, $-NR^5COCONR^5R^5$ or R^6 .--

--128. (New) The method of claim 127, wherein R^2 is H, C_1 - C_3 alkyl, $-(C_1-C_2$ alkylene)-NHCO- $(C_1-C_3$ alkyl), $-(C_1-C_2$ alkylene)-NHCONH- $(C_1-C_3$ alkyl), $-(C_1-C_2$ alkylene)-NHCONHCO-(phenyl), $-(C_1-C_2$ alkylene)-NHSO₂R⁶, $-(C_1-C_2$ alkylene)-NHCOR⁶, $-(C_1-C_2$ alkylene)-NHCO-(phenyl), each C_1 - C_3 alkyl and phenyl being optionally substituted by fluoro, -OH, -O(C_1 - C_6 alkyl), -CN, -CO₂(C_1 - C_6 alkyl), -CONH₂, -OCONH₂, -OCONHCO₂Ph, -NH₂, -N(C_1 - C_6 alkyl)₂, -NHCONH₂, -NHCOCOCONH₂ or R⁶.--

--129. (New) The method of claim 126, wherein R⁶ is 2,4-dihydroxypyrimidinyl, 1-methylimidazolyl, tetrahydrofuranyl, 1,5-dimethylpyrazolyl, tetrazolyl, pyridinyl, pyrimidinyl, 3-hydroxypyridazinyl, 2-hydroxypyridinyl, 2-oxo-2H-pyranyl or 1,2,3-thiadiazolyl.--

--130. (New) The method of claim 128, wherein R^2 is H, -CH₂OH, -CH₂CH₂OH, -CH₂CH₂CH₂OH, -CH₂OCONH₂, -CH₂CH₂OCONH₂, -CH₂OCONHCO₂Ph, -CH₂CO₂CH₂CH₃, -CH₂CH₂CO₂CH₃, -CH₂CH₂CO₂CH₂CH₃, -CH₂CH₂CONH₂, -CH₂CH₂NH₂, -CH₂CH₂CH₂NH₂, -CH₂CH₂NHCOCHF₂, -CH₂CH₂NHCOCH₂CN, -CH₂CH₂NHCOCH₂N(CH₃)₂, -CH₂CH₂NHCOCH₂OCH₃, -CH₂CH₂NHCOCH₂OH, -CH₂CH₂NHCOCH₂OCH₂CH₃, -CH₂CH₂NHCOCH₂NHCONH₂, -CH₂CH₂NHCOCONH₂, -CH₂CH₂NHCONHCH₂CH₂CH₃, -CH₂CH₂NHCONHCOPh, -CH₂CH₂NHCONHCO(2,6-difluorophenyl), -CH₂CH₂NHSO₂(2,4-dihydroxypyrimidin-5-yl), -CH₂CH₂NHSO₂(1-methylimidazol-4-yl), -CH₂CH₂NHCO(tetrahydrofuran-2-yl), -CH₂CH₂NHCO(1,5-dimethylpyrazol-3-yl), -CH₂CH₂NHCOCH₂(tetrazol-1-yl), -CH₂CH₂NHCOPh, -CH₂CH₂NHCO(pyridin-2-yl), -CH₂CH₂NHCO(pyrimidin-2-yl), -CH₂CH₂NHCO(2-fluorophenyl), -CH₂CH₂NHCO(3-hydroxyphenyl), -CH₂CH₂NHCO(3-hydroxypyridazin-6-yl), -CH₂CH₂NHCO(2-hydroxypyridin-6-yl), -CH₂CH₂NHCO(2-oxo-2H-pyran-5-yl) or -CH₂CH₂NHCO(1,2,3-thiadiazol-4-yl).--

--131. (New) The method of claim 119, wherein R^2 is H, methyl, $-\text{CH}_2\text{CH}_2\text{OH}$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{OH}$, $-\text{CH}_2\text{CH}_2\text{NH}_2$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{NH}_2$, $-\text{CH}_2\text{CN}$, $-\text{CH}_2\text{CH}_2\text{OCH}_3$, $-\text{CH}_2\text{CONH}_2$, $-\text{CH}_2\text{CH}_2\text{NHCOCH}_2\text{OCH}_3$ or azetidin-3-yl.--

--132. (New) The method of claim 131 wherein R^2 is $-\text{CH}_2\text{CH}_2\text{OH}$, $-\text{CH}_2\text{CH}_2\text{NH}_2$, $-\text{CH}_2\text{CN}$ or azetidin-3-yl.--

--133. (New) The method of claim 132 wherein R^3 is $\text{C}_1\text{-C}_6$ alkyl, $-\text{CO}_2\text{R}^5$, $-\text{CONR}^5\text{R}^5$, $-\text{NR}^5\text{CO}_2\text{R}^7$ or $-\text{NR}^5\text{R}^5$, said $\text{C}_1\text{-C}_6$ alkyl being optionally substituted by halo, $-\text{CN}$, $-\text{OR}^5$, $-\text{CO}_2\text{R}^5$, $-\text{CONR}^5\text{R}^5$, $-\text{OCONR}^5\text{R}^5$, $-\text{NR}^5\text{CO}_2\text{R}^7$, $-\text{NR}^5\text{R}^5$, $-\text{NR}^5\text{COR}^5$, $-\text{SO}_2\text{NR}^5\text{R}^5$, $-\text{NR}^5\text{CONR}^5\text{R}^5$, $-\text{NR}^5\text{SO}_2\text{R}^7$ or R^6 .--

--134. (New) The method of claim 133 wherein R^3 is $\text{C}_1\text{-C}_6$ alkyl, $-\text{CO}_2\text{R}^5$, $-\text{CONR}^5\text{R}^5$, $-\text{NR}^5\text{CO}_2\text{R}^5$ or $-\text{NR}^5\text{R}^5$, said $\text{C}_1\text{-C}_6$ alkyl being optionally substituted by halo, $-\text{CN}$ or $-\text{OR}^5$.--

--135. (New) The method of claim 134 wherein R^3 is $\text{C}_1\text{-C}_3$ alkyl, $-\text{CO}_2(\text{C}_1\text{-C}_2 \text{ alkyl})$, $-\text{CONH}_2$, $-\text{NHCO}_2(\text{C}_1\text{-C}_4 \text{ alkyl})$, $-\text{N}(\text{CH}_3)_2$ or $-\text{NH}_2$, said $\text{C}_1\text{-C}_3$ alkyl being optionally substituted by halo, $-\text{CN}$ or $-\text{OH}$.--

--136. (New) The method of claim 135 wherein R^3 is methyl, ethyl, prop-2-yl, hydroxymethyl, cyanomethyl, trifluoromethyl, $-\text{CO}_2\text{CH}_2\text{CH}_3$, $-\text{CONH}_2$, $-\text{NHCO}_2\text{C}(\text{CH}_3)_3$, $-\text{N}(\text{CH}_3)_2$ or $-\text{NH}_2$.--

--137. (New) The method of claim 136 wherein R^3 is methyl, ethyl, prop-2-yl or trifluoromethyl.--

--138. (New) The method of claim 137 wherein R³ is ethyl.--

--139. (New) The method of claim 119 wherein R⁴ is phenyl optionally substituted by R⁶, halo, -CN, C₁-C₆ alkyl, fluoro-(C₁-C₆)-alkyl, C₃-C₇ cycloalkyl or C₁-C₆ alkoxy.--

--140. (New) The method of claim 139 wherein R⁴ is phenyl substituted by halo, -CN or C₁-C₃ alkyl.--

--141. (New) The method of claim 140 wherein R⁴ is phenyl substituted by fluoro, chloro, bromo, -CN, or methyl.--

--142. (New) The method of claim 141 wherein R⁴ is 3-chlorophenyl, 4-chlorophenyl, 3-fluorophenyl, 3,5-dichlorophenyl, 2,6-difluorophenyl, 3,5-difluorophenyl, 3,5-dibromophenyl, 3,5-dicyanophenyl or 3,5-dimethylphenyl.--

--143. (New) The method of claim 142 wherein R⁴ is (i) phenyl substituted at the 3 position by fluoro, chloro, methyl or cyano or (ii) phenyl substituted at the 3 and 5 positions by two substituents independently chosen from fluoro, chloro, methyl and cyano.--

--144. (New) The method of claim 119 wherein X is -CH₂-, -CHR¹¹-, -CO-, -S- or -SO₂--

--145. (New) The method of claim 144 wherein X is -CH₂-, -CH(OCH₃)-, -CO-, -S- or -SO₂--

--146. (New) The method of claim 145 wherein X is -CH₂- or -S.--

--147. (New) The method of claim 119 wherein the compound of the formula (I) is selected from the group consisting of: 2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-isopropyl-3-methyl-1*H*-pyrazol-1-yl]ethanol;

ethyl [4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]acetate;

ethyl [4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

*N*¹-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl} ethanediamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-6-oxo-1,6-dihydro-3-pyridazinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1,5-dimethyl-1*H*-pyrazole-3-carboxamide;

2-[(aminocarbonyl)amino]-*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl} acetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-ethoxyacetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-pyridinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-methoxyacetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-6-oxo-1,6-dihydro-2-pyridinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-pyrazinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-oxo-2*H*-pyran-5-carboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-(1*H*-tetraazol-1-yl)acetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}tetrahydro-2-furancarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-3-hydroxybenzamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-hydroxyacetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1,2,3-thiadiazole-4-carboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-(dimethylamino)acetamide;

2-cyano-*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}acetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-fluorobenzamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-*N*'-propylurea;

N-benzoyl-*N*'-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}urea;

2-[4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

ethyl [4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

ethyl [4-(3,5-dichlorobenzyl)-5-isopropyl-3-methyl-1*H*-pyrazol-1-yl]acetate;

4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazole;

2-[4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;

2-{4-[(4-chlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol;

ethyl [4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

ethyl [4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

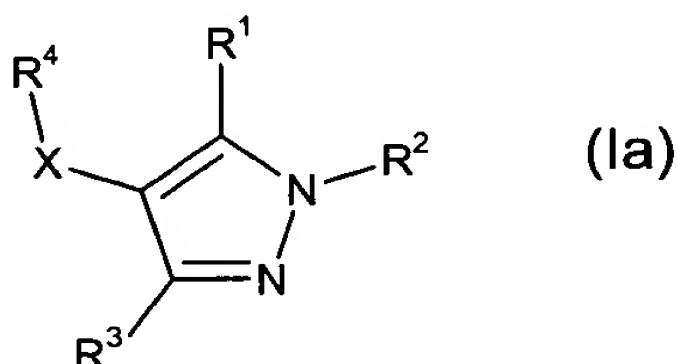
4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;
4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;
4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;
4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;
2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol;
2-{4-[(3,5-dichlorophenyl)sulfonyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol;
4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazole;
2-[4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanamine;
2-[4-(3,5-dichlorobenzyl)-5-ethyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;
2-[4-(3,5-dichlorobenzyl)-3-ethyl-5-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;
2-[4-(3,5-dichlorobenzyl)-5-ethyl-3-methyl-1*H*-pyrazol-1-yl]ethanol;
2-[4-(3,5-dichlorobenzyl)-3-ethyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;
2-[4-(3,5-dichlorobenzyl)-3-(dimethylamino)-5-methyl-1*H*-pyrazol-1-yl]ethanol;
2-[4-(3,5-dimethylbenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;
2-[4-(3,5-dichlorobenzyl)-5-methoxy-3-methyl-1*H*-pyrazol-1-yl]ethanol;
2-[4-(3,5-dichlorobenzyl)-5-(2-furyl)-3-methyl-1*H*-pyrazol-1-yl]ethanol;
(3,5-dichlorophenyl)[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]methanone;
(±)-2-{4-[(3,5-dichlorophenyl)(methoxy)methyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol;
2-[4-(2,6-difluorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;
2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl carbamate;
methyl 3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanoate;
ethyl 3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanoate;
3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanamide;
3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]-1-propanol;
[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methanol;
[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methyl carbamate;

2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanamine;
N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl} benzamide;
N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1-methyl-1*H*-imidazole-4-sulfonamide;
ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-3-carboxylate;
ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-5-carboxylate;
4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-3-carboxamide;
2-[4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-3-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol;
3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]-1-propanamine;
2-[4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-5-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol;
N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2,2-difluoroacetamide;
[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methyl phenyl imidodicarbonate;
N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-*N'*-(2,6-difluorobenzoyl)urea;
N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2,4-dioxo-1,2,3,4-tetrahydro-5-pyrimidinesulfonamide;
ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1*H*-pyrazole-3-carboxylate;
[4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-3-yl]acetonitrile;
[4-[(3,5-dichlorophenyl)sulfonyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-3-yl]acetonitrile;

2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol;
4-(3,5-dichlorobenzyl)-3-ethyl-1*H*-pyrazol-5-amine;
ethyl 4-(3,5-dichlorobenzyl)-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-5-ylcarbamate;
N-[4-(3,5-dichlorobenzyl)-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-5-yl]-2-methoxyacetamide;
2-[4-(3,5-dichlorobenzyl)-5-(dimethylamino)-3-ethyl-1*H*-pyrazol-1-yl]ethanol;
ethyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-5-methyl-1*H*-pyrazole-3-carboxylate;
ethyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-3-methyl-1*H*-pyrazole-5-carboxylate;
tert-butyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-5-methyl-1*H*-pyrazol-3-ylcarbamate;
2-[3-amino-4-(3,5-dichlorobenzyl)-5-methyl-1*H*-pyrazol-1-yl]ethanol;
ethyl [4-(3,5-dichlorobenzyl)-5-methoxy-3-methyl-1*H*-pyrazol-1-yl]acetate;
2-[5-amino-4-(3,5-dichlorobenzyl)-3-ethyl-1*H*-pyrazol-1-yl]ethanol;
5-{[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]methyl}isophthalonitrile;
5-{(3,5-diethyl-1*H*-pyrazol-4-yl)methyl}isophthalonitrile;
5-{[1-(2-aminoethyl)-3,5-diethyl-1*H*-pyrazol-4-yl]methyl}isophthalonitrile;
2-{4-[(3,5-dibromophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol; and
5-{[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]sulfanyl}isophthalonitrile;
and the pharmaceutically acceptable salts and solvates thereof.--

--148. (New) The method of claim 147, wherein said compound selected from the group consisting of 2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol; 2-[4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-5-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol; and 2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol.--

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--149 (New) A method for the treatment of a human immunodeficiency viral (HIV), or genetically related retroviral, infection or a resulting acquired immunodeficiency syndrome (AIDS) comprising the administration of an effective amount of a compound of formula (Ia)



or a pharmaceutically acceptable salt or solvate thereof, wherein:

R¹ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, halo, -OR⁵, -CO₂R⁵, -CONR⁵R⁶, -OCONR⁵R⁶, -NR⁵CO₂R⁶, -NR⁵R⁶, -NR⁵COR⁶, -SO₂NR⁵R⁶, -NR⁵CONR⁶R⁷, -NR⁵SO₂R⁶ or R⁸, said C₁-C₆ alkyl, phenyl and benzyl being optionally substituted by halo, -OR⁵, -CO₂R⁵, -CONR⁵R⁶, -OCONR⁵R⁶, -NR⁵CO₂R⁶, -NR⁵R⁶, -NR⁵COR⁶, -SO₂NR⁵R⁶, -NR⁵CONR⁶R⁷, -NR⁵SO₂R⁶ or R⁸;

R² is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl or C-linked R¹², said C₁-C₆ alkyl, phenyl and benzyl being optionally substituted by -OR⁹, -CO₂R⁹, -CO₂NR⁹R¹⁰, -NR⁹R¹⁰, -NR⁹COR¹⁰, -NR⁹CO₂R¹⁰, -NR⁹CONR¹⁰R¹¹, -SO₂NR⁹R¹⁰, -NR⁹SO₂R¹⁰ or R¹²;

R³ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, halo, -OR¹³, -CO₂R¹³, -CONR¹³R¹⁴, -OCONR¹³R¹⁴, -NR¹³CO₂R¹⁴, -NR¹³R¹⁴, -NR¹³COR¹⁴, -SO₂NR¹³R¹⁴, -NR¹³CONR¹⁴R¹⁵, -NR¹³SO₂R¹⁴ or R¹⁶, said C₁-C₆ alkyl, phenyl and benzyl being optionally substituted by halo, -OR¹³, -CO₂R¹³, -CONR¹³R¹⁴, -OCONR¹³R¹⁴, -NR¹³CO₂R¹⁴, -NR¹³R¹⁴, -NR¹³COR¹⁴, -SO₂NR¹³R¹⁴, -NR¹³CONR¹⁴R¹⁵, -NR¹³SO₂R¹⁴ or R¹⁶;

R⁴ is phenyl or pyridyl, each being optionally substituted by halo, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₃-C₇ cycloalkyl or C₁-C₆ alkoxy;

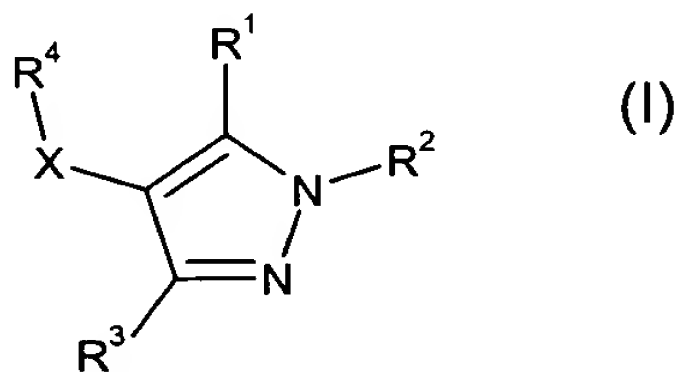
R⁵, R⁶, R⁷, R⁹, R¹⁰, R¹¹, R¹³, R¹⁴ and R¹⁵ are either each H, C₁-C₆ alkyl or C₃-C₆ cycloalkyl or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached may represent azetidiny, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl or

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morpholinyl, said azetidiny, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl and morpholinyl being optionally substituted by C₁-C₆ alkyl or C₃-C₇ cycloalkyl;

R⁸, R¹² and R¹⁶ are each a five- or six-membered heterocyclic group containing 1 to 4 heteroatoms selected from O, N and S and optionally substituted by oxo, C₁-C₆ alkyl, C₃-C₇ cycloalkyl or halo; and

X is -CH₂-, -S-, -SO- or -SO₂-.

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--150. (New) A method for the treatment of a disorder treatable by the inhibition of reverse transcriptase, comprising the administration of an effective amount of a compound of the formula (I),



or a pharmaceutically acceptable salt or solvate thereof, wherein

either (i) R¹ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, halo, -CN, -OR⁷, -OR⁸, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -NR⁵CO-(C₁-C₆ alkylene)-OR⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, said C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR⁵, -OR⁸, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁸R⁹, -NR⁵COR⁵, -NR⁵COR⁶, -NR⁵COR⁸, -SO₂NR⁵R⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, and

R² is H or -Y-Z,

or, (ii) R¹ and R², when taken together, represent unbranched C₃-C₄ alkylene, optionally wherein one methylene group of said C₃-C₄ alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R⁵ or R⁸;

Y is a direct bond or C₁-C₃ alkylene;

Z is R^{10} or, where Y is C_1 - C_3 alkylene, Z is $-NR^5COR^{10}$, $-NR^5CONR^5R^{10}$, $-NR^5CONR^5COR^{10}$ or $-NR^5SO_2R^{10}$;

R^3 is H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl, benzyl, -CN, halo, $-OR^7$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5COR^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6 , said C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, $-OR^5$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5COR^5$, $-SO_2NR^5R^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6 ;

R^4 is phenyl or pyridyl, each being optionally substituted by R^6 , halo, -CN, C_1 - C_6 alkyl, fluoro- $(C_1$ - $C_6)$ -alkyl, C_3 - C_7 cycloalkyl or C_1 - C_6 alkoxy;

each R^5 is independently either H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, fluoro- $(C_1$ - $C_6)$ -alkyl, phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached represent azetidiny, pyrrolidiny, piperidiny, homopiperidiny, piperaziny, homopiperaziny or morpholiny, said azetidiny, pyrrolidiny, piperidiny, homopiperidiny, piperaziny, homopiperaziny and morpholiny being optionally substituted by C_1 - C_6 alkyl or C_3 - C_7 cycloalkyl and said piperaziny and homopiperaziny being optionally substituted on the nitrogen atom not taken together with the two R^5 groups to form the ring by $-COR^7$ or $-SO_2R^7$;

R^6 is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said heterocyclic group being optionally substituted by $-OR^5$, $-NR^5R^5$, -CN, oxo, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, $-COR^7$ or halo;

R^7 is C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, fluoro- $(C_1$ - $C_6)$ -alkyl, phenyl or benzyl;

R^8 is C_1 - C_6 alkyl substituted by phenyl, phenoxy, pyridyl or pyrimidinyl, said phenyl, phenoxy, pyridyl and pyrimidinyl being optionally substituted by halo, -CN, $-CONR^5R^5$, $-SO_2NR^5R^5$, $-NR^5SO_2R^7$, $-NR^5R^5$, $-(C_1$ - C_6 alkylene)- $-NR^5R^5$, C_1 - C_6 alkyl, fluoro- $(C_1$ - $C_6)$ -alkyl, C_3 - C_7 cycloalkyl or C_1 - C_6 alkoxy;

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R⁹ is H, C₁-C₆ alkyl or C₃-C₇ cycloalkyl, said C₁-C₆ alkyl and C₃-C₇ cycloalkyl being optionally substituted by -OR⁵, -NR⁵R⁵, -NR⁵COR⁵, -CONR⁵R⁵ or R⁶;

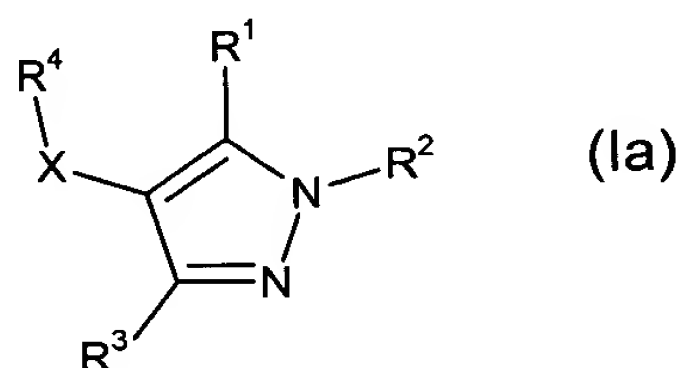
R¹⁰ is C₁-C₆ alkyl, C₃-C₆ alkenyl, C₃-C₆ alkynyl, C₃-C₇ cycloalkyl, phenyl, benzyl or C-linked R⁶, said C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl and benzyl being optionally substituted by halo, -OR⁵, -OR¹², -CN, -CO₂R⁷, -CONR⁵R⁵, -OCONR⁵R⁵, -C(=NR⁵)NR⁵OR⁵, -CONR⁵NR⁵R⁵, -OCONR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵R¹², -NR⁵COR⁵, -NR⁵CO₂R⁷, -NR⁵CONR⁵R⁵, -NR⁵COCONR⁵R⁵, -NR⁵SO₂R⁷, -SO₂NR⁵R⁵ or R⁶;

X is -CH₂-, -CHR¹¹-, -CO-, -S-, -SO- or -SO₂-;

R¹¹ is C₁-C₆ alkyl, C₃-C₇ cycloalkyl, fluoro-(C₁-C₆)-alkyl or C₁-C₆ alkoxy; and

R¹² is C₁-C₆ alkyl substituted by R⁶, -OR⁵, -CONR⁵R⁵, -NR⁵COR⁵ or -NR⁵R⁵

or a compound of the formula (Ia)



or a pharmaceutically acceptable salt or solvate thereof, wherein:

R¹ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, halo, -OR⁵, -CO₂R⁵, -CONR⁵R⁶, -OCONR⁵R⁶, -NR⁵CO₂R⁶, -NR⁵R⁶, -NR⁵COR⁶, -SO₂NR⁵R⁶, -NR⁵CONR⁶R⁷, -NR⁵SO₂R⁶ or R⁸, said C₁-C₆ alkyl, phenyl and benzyl being optionally substituted by halo, -OR⁵, -CO₂R⁵, -CONR⁵R⁶, -OCONR⁵R⁶, -NR⁵CO₂R⁶, -NR⁵R⁶, -NR⁵COR⁶, -SO₂NR⁵R⁶, -NR⁵CONR⁶R⁷, -NR⁵SO₂R⁶ or R⁸;

R² is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl or C-linked R¹², said C₁-C₆ alkyl, phenyl and benzyl being optionally substituted by -OR⁹, -CO₂R⁹, -CO₂NR⁹R¹⁰, -NR⁹R¹⁰, -NR⁹COR¹⁰, -NR⁹CO₂R¹⁰, -NR⁹CONR¹⁰R¹¹, -SO₂NR⁹R¹⁰, -NR⁹SO₂R¹⁰ or R¹²; R³ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, halo, -OR¹³, -CO₂R¹³, -CONR¹³R¹⁴, -OCONR¹³R¹⁴, -NR¹³CO₂R¹⁴, -NR¹³R¹⁴, -NR¹³COR¹⁴, -SO₂NR¹³R¹⁴, -NR¹³CONR¹⁴R¹⁵, -NR¹³SO₂R¹⁴ or R¹⁶, said C₁-C₆ alkyl, phenyl and benzyl being optionally substituted by halo, -OR¹³, -CO₂R¹³,

~~-CONR¹³R¹⁴, -OCONR¹³R¹⁴, -NR¹³CO₂R¹⁴, -NR¹³R¹⁴, -NR¹³COR¹⁴, -SO₂NR¹³R¹⁴,
-NR¹³CONR¹⁴R¹⁵, -NR¹³SO₂R¹⁴ or R¹⁶;~~

~~R⁴ is phenyl or pyridyl, each being optionally substituted by halo, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₃-C₇ cycloalkyl or C₁-C₆ alkoxy;~~

~~R⁵, R⁶, R⁷, R⁹, R¹⁰, R¹¹, R¹³, R¹⁴ and R¹⁵ are either each H, C₁-C₆ alkyl or C₃-C₆ cycloalkyl or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached may represent azetidiny, pyrrolidiny, piperidiny, homopiperidiny, piperaziny, homopiperaziny or morpholiny, said azetidiny, pyrrolidiny, piperidiny, homopiperidiny, piperaziny, homopiperaziny and morpholiny being optionally substituted by C₁-C₆ alkyl or C₃-C₇ cycloalkyl;~~

~~R⁸, R¹² and R¹⁶ are each a five- or six-membered heterocyclic group containing 1 to 4 heteroatoms selected from O, N and S and optionally substituted by oxo, C₁-C₆ alkyl, C₃-C₇ cycloalkyl or halo; and~~

~~X is -CH₂-, -S-, -SO- or -SO₂- to a patient in need of such treatment.--~~

--151. (New) A compound selected from the group consisting of: 2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-isopropyl-3-methyl-1*H*-pyrazol-1-yl]ethanol;

ethyl [4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]acetate;

ethyl [4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

*N*¹-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}ethanediamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-6-oxo-1,6-dihydro-3-pyridazinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1,5-dimethyl-1*H*-pyrazole-3-carboxamide;

2-[(aminocarbonyl)amino]-*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}acetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-ethoxyacetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-pyridinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-methoxyacetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-6-oxo-1,6-dihydro-2-pyridinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-pyrazinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-oxo-2*H*-pyran-5-carboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-(1*H*-tetraazol-1-yl)acetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}tetrahydro-2-furancarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-3-hydroxybenzamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-hydroxyacetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1,2,3-thiadiazole-4-carboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-(dimethylamino)acetamide;

2-cyano-*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl} acetamide;
N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-fluorobenzamide;
N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-*N'*-propylurea;
N-benzoyl-*N'*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl} urea;
2-[4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;
ethyl [4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;
ethyl [4-(3,5-dichlorobenzyl)-5-isopropyl-3-methyl-1*H*-pyrazol-1-yl]acetate;
4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazole;
2-[4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanol;
2-[4-(3,5-dichlorobenzyl)-5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;
2-{4-[(4-chlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl} ethanol;
ethyl [4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;
ethyl [4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;
4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;
4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;
4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;
4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;
2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl} ethanol;
2-{4-[(3,5-dichlorophenyl)sulfonyl]-3,5-dimethyl-1*H*-pyrazol-1-yl} ethanol;
4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazole;
2-[4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanamine;
2-[4-(3,5-dichlorobenzyl)-5-ethyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;
2-[4-(3,5-dichlorobenzyl)-3-ethyl-5-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;
2-[4-(3,5-dichlorobenzyl)-5-ethyl-3-methyl-1*H*-pyrazol-1-yl]ethanol;
2-[4-(3,5-dichlorobenzyl)-3-ethyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;
2-[4-(3,5-dichlorobenzyl)-3-(dimethylamino)-5-methyl-1*H*-pyrazol-1-yl]ethanol;
2-[4-(3,5-dimethylbenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-methoxy-3-methyl-1*H*-pyrazol-1-yl]ethanol;
2-[4-(3,5-dichlorobenzyl)-5-(2-furyl)-3-methyl-1*H*-pyrazol-1-yl]ethanol;
(3,5-dichlorophenyl)[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]methanone;
(±)-2-{4-[(3,5-dichlorophenyl)(methoxy)methyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol;
2-[4-(2,6-difluorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;
2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl carbamate;
methyl 3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanoate;
ethyl 3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanoate;
3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanamide;
3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]-1-propanol;
[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methanol;
[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methyl carbamate;
2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanamine;
N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}benzamide;
N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1-methyl-1*H*-imidazole-4-sulfonamide;
ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-3-carboxylate;
ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-5-carboxylate;
4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-3-carboxamide;
2-[4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-3-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol;
3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]-1-propanamine;

2-[4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-5-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2,2-difluoroacetamide;

[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methyl phenyl imidodicarbonate;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-*N'*-(2,6-difluorobenzoyl)urea;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2,4-dioxo-1,2,3,4-tetrahydro-5-pyrimidinesulfonamide;

ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1*H*-pyrazole-3-carboxylate;

[4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-3-yl]acetonitrile;

[4-[(3,5-dichlorophenyl)sulfonyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-3-yl]acetonitrile;

2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl} ethanol;

4-(3,5-dichlorobenzyl)-3-ethyl-1*H*-pyrazol-5-amine;

ethyl 4-(3,5-dichlorobenzyl)-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-5-ylcarbamate;

N-[4-(3,5-dichlorobenzyl)-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-5-yl]-2-methoxyacetamide;

2-[4-(3,5-dichlorobenzyl)-5-(dimethylamino)-3-ethyl-1*H*-pyrazol-1-yl]ethanol;

ethyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-5-methyl-1*H*-pyrazole-3-carboxylate;

ethyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-3-methyl-1*H*-pyrazole-5-carboxylate;

tert-butyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-5-methyl-1*H*-pyrazol-3-ylcarbamate;

2-[3-amino-4-(3,5-dichlorobenzyl)-5-methyl-1*H*-pyrazol-1-yl]ethanol;

ethyl [4-(3,5-dichlorobenzyl)-5-methoxy-3-methyl-1*H*-pyrazol-1-yl]acetate;
2-[5-amino-4-(3,5-dichlorobenzyl)-3-ethyl-1*H*-pyrazol-1-yl]ethanol;
5-{{[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]methyl}isophthalonitrile;
5-[(3,5-diethyl-1*H*-pyrazol-4-yl)methyl]isophthalonitrile;
5-{{[1-(2-aminoethyl)-3,5-diethyl-1*H*-pyrazol-4-yl]methyl}isophthalonitrile;
2-{4-[(3,5-dibromophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol; and
5-{{[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]sulfanyl}isophthalonitrile;
and the pharmaceutically acceptable salts and solvates thereof.--

--152. (New) The compound of claim 151, wherein said compound is selected from the group consisting of 2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol; 2-[4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-5-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol; and 2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol.--

The above amendments add no new matter to this application. Applicants respectfully request their entry.